

Application No. 09/211,715
 Reply to Advisory Action of July 2, 2003

September 10, 2003
 S&L File No. P26,835-D USA

Ac-pAph-Chg-Arg-Gla-Pro-NH₂; ~~Ac-pAph-Chg-Arg-Cys (CH₂-COOH)-Pro-NH₂;~~
 Ac-Pal(4)Me-Chg-Arg-Leu-Pro-NH₂; Ac- (iBu) Nal (2) -Chg-Arg-Leu-Pro-NH₂;
 Ac-Phe (p-CONH₂) -Chg-Arg-Leu-Pro-NH₂;
 Ac-pAph-Chg-Arg-N [1(1,3-dicarboxy) propyl] Gly-Pro-NH₂;
 Ac-pAph-Chg-Dap (CH=N(CH₃)₂) -Leu-Pro-NH₂;
 (2-quinolinoyl) -Phe (NH₂) -Chg-Arg-Leu-Pro-NH₂;
 Ac-pAph-Chg-Arg-N (carboxymethyl) Gly-Pro-NH₂;
 Ac-pAph-Chg-Arg- (carboxyethyl) Gly-Pro-NH₂; Ac-mAph-Chg-Arg-Leu-Pro-NH₂;
 Alloc-pAph-Chg-PalMe(3) -Pen (CH₂COOH)-Pro-NH₂;
~~Ac-pAph-Chg-Arg-N [1(1,3-dicarboxy) propyl] Gly-Pro-NH₂;~~
 Ac-pAph-Ile-Arg-Leu-Pro-NH₂; Ac-Phe (pNH₂) -Chg-Arg- (Me) Leu-Pro-NH₂;
 Ac- (Chx-CH₂) Tyr-Chg-Arg-Leu-Pro-NH₂;
 (3-pyridoyl) -Phe (pNH₂) -Chg-Arg-Leu-Pro-NH₂;
 (3-pyridoyl) -Nal (2) -Chg-Arg-Leu-Pro-NH₂;
 Ac-Pal(4)Me-Chg-Pal(4)Me-Leu-Pro-NH₂; ~~Alloc-pAph-Chg-Arg-Leu-Pro-NH₂;~~
 (4-isoquinolinoyl) -Phe (pNH₂) -Chg-Arg-Leu-Pro-NH₂;
 Ac-pAph-Cha-PalMe(3) - (Me) Leu-Pro-NH₂;
 Ac-pAph-Chg-PalMe(3) -Leu-Pro-NH₂;
 (2-naphthyl-CH₂) Phe (pNH₂) -Chg-Arg-Leu-Pro-NH₂;
 (5-pyrazinoyl) Nal (2) -Chg-Arg-Leu-Pro-NH₂;
 (Benzoyl) - Phe (pNH₂) -Chg-Arg-Leu-Pro-NH₂;
 Ac- (2-methylpentanyl) -Tyr-Ile-Arg-Leu-Pro-NH₂;
 (2-pyridonyl) Phe (pNH₂) Chg-Arg-Leu-Pro-NH₂;
~~(Benzoyl) -Phe (pNH₂) -Chg-Arg-Leu-Pro-NH₂;~~
 Ac- (2-methylpentyl) Tyr-Ile-Arg-Leu-Pro-NH₂;
 Ac- (iBu) Phe (pCN) -Chg-Arg-Leu-Pro-NH₂;

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Ac- (2-methylbutyl) Tyr-Ile-Arg-Leu-Pro-NH₂;
Ac-Phe (pNH₂) -Chg-Arg-Leu-Pro-NH₂; Ac-Phe (pNH₂) -Chg-Arg-Leu-Hyp-NH₂;
Ac-Tyr-Chg-Arg-Leu-Pro-NH₂;
(2-naphthylsulfonyl) -Phe (pNH₂) -Chg-Arg-Leu-Pro-NH₂;
(2-methylbenzyl) -Phe (pNH₂) -Chg-Arg-Leu-Pro-NH₂;
(2-benzofuroyl) -Phe(pNH₂) -Chg-Dab (CH=N(CH₃)₂) -Leu-Pro-NH₂;
Ac- (cyclopentenyl-CH₂) Tyr-Ile-Arg-Leu-Pro-NH₂;
Ac-Pal (4) Me-Chg-PalMe(3) -Leu-Pro-NH₂;
Ac- (iBu) -Phe (pNH₂) -Chg-Arg-Leu-Pro-NH₂; and
Ac- (Chx-CH₂) -Tyr-Ile-Arg-Leu-Pro-NH₂.

6. Claim ~~9~~ (Previously presented): A compound selected from the group consisting of Ac-pAph-Chg-Arg-Leu-NH₂ and Ac-pAph-Chg-Arg-Leu.

7. Claim ~~10~~ (Previously presented): A compound selected from the group consisting of (2-benzofuroyl) -pAph-Chg-PalMe(3) -NH₂ and Ac- (iBu) Phe (pNH₂) -Chg-Arg-NH₂.

4. Claim ~~11~~ (Currently amended): A compound selected from the group consisting of Alloc-pAph-Chg-PalMe(3) -NH₂;
(2-quinolinoyl) -pAph-Chg-PalMe(3) -NH₂;
Ac-pAph-Chg-PalMe(3) -NH (1-methoxycarbonyl) -1-cyclohexyl;
Ac-pAph-Chg-Arg-NH₂; (2-pyridoyl) -pAph-Chg-PalMe(3) -NH₂;
CF₃C(O) - (iBu) Phe (pNH₂) -Chg-Arg-NH₂;
Ac-pAph-Chg-PalMe(3) -NH- (1-methoxycarbonyl) -1-cyclopentyl;
Ac-pAph-Chg-PalMe(3) -NH- (4-methoxycarbonyl-cyclohexyl) methyl;

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Ac-pAph-Chg-PalMe(3) -NH- (3-thienyl-2-carboxylic acid methyl ester);
~~Ac-pAph-Chg-Arg-NH₂; CF₃C(O) - (iBu) Tyr-Chg-Arg- OH COOH;~~
~~Ac-pAph-Chg-PalMe(3) -NH- (4-methoxycarbonyl-cyclohexyl) methyl;~~
 Ac-pAph-Chg-PalMe(3) -NH₂; Ac-pAph-Chg-Pal(3) (CH₂COOH) -NH₂;
 (2-quinolinecarboxy) -pAph-Chg-PalMe(3)-NH₂;
 Ac-pAph-Chg-PalMe(3) -NH- (4-carboxycyclohexyl) methyl; and
 CF₃C (O) (iBu) -Tyr-Ile-Arg-NH₂.

Claims 12-19 (Canceled)

6. Claim ~~20~~ (Previously presented): A compound selected from the group consisting of Ac-D-pAph-Chg-Arg-Leu-Pro-NH₂;
 Ac-D-pAph-Chg-Arg-Gla-Pro-NH₂;
 Ac-D-pAph-Chg-Arg-Cys (CH₂-COOH) -Pro-NH₂;
 Ac-D-pAph-Chg-Arg-N (carboxymethyl) Gly-Pro-NH₂;
 Ac-D-pAph-Chg-Arg- (carboxyethyl) Gly-Pro-NH₂;
 Ac-D-pAph-Chg-Arg-N [1(1,3-dicarboxy) propyl] Gly-Pro-NH₂;
 Ac-D-pAph-Ile-Arg-Leu-Pro-NH₂; Alloc-D-pAph-Chg-Arg-Leu-Pro-NH₂;
 Ac-D-pAph-Chg-PalMe(3) -Leu-Pro-NH₂; and Ac-D-pAph-Chg-Arg-NH₂.

7. Claim ~~21~~ (Previously presented): A compound
 Ac-D-pAph-Chg-PalMe(3) -Leu-Pro-NH₂.

8. Claim ~~22~~ (Previously presented): A compound
 Ac-D-pAph-Chg-PalMe(3) -NH₂.

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9 · Claim ~~23~~ (Original): A compound Ac-Phe (pNH₂) -Chg-Arg-Leu-Pro-NH₂.

Claim 24 (Canceled)

10 · Claim ~~25~~ (Previously presented): A method of specifically inhibiting the activity of Factor Xa, comprising contacting the factor Xa with the compound as in claims 7, 8, 9, 10, 11, 20, 21, 22, or 23.

Claim 26 (Canceled)